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NEWS
                 EPFULL enhanced with 260,000 English abstracts
NEWS
      3
         JUN 06
                 KOREAPAT updated with 41,000 documents
NEWS
         JUN 13
                 USPATFULL and USPAT2 updated with 11-character
                 patent numbers for U.S. applications
NEWS
         JUN 19
                 CAS REGISTRY includes selected substances from
                 web-based collections
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         JUN 25
                 CA/CAplus and USPAT databases updated with IPC
                 reclassification data
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         JUN 30
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                 patent records
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      9
         JUN 30
                 STN on the Web enhanced with new STN AnaVist
                 Assistant and BLAST plug-in
         JUN 30
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                 STN AnaVist enhanced with database content from EPFULL
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         JUL 28
                 CA/CAplus patent coverage enhanced
NEWS 12
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                 EPFULL enhanced with additional legal status
                  information from the epoline Register
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         JUL 28
                 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
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                 STN Viewer performance improved
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         AUG 01
                 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 16
         AUG 13
                 CA/CAplus enhanced with printed Chemical Abstracts
                 page images from 1967-1998
NEWS 17
         AUG 15
                 CAOLD to be discontinued on December 31, 2008
NEWS 18
         AUG 15
                 CAplus currency for Korean patents enhanced
NEWS 19
         AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                  information
NEWS 20
         SEP 18
                 Support for STN Express, Versions 6.01 and earlier,
                 to be discontinued
                 CA/CAplus current-awareness alert options enhanced
NEWS 21
         SEP 25
                 to accommodate supplemental CAS indexing of
                  exemplified prophetic substances
NEWS 22
         SEP 26
                 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                  and Korean patents enhanced
                 IFICLS enhanced with new super search field
NEWS 23
         SEP 29
NEWS 24
                 EMBASE and EMBAL enhanced with new search and
         SEP 29
                 display fields
NEWS 25
         SEP 30
                 CAS patent coverage enhanced to include exemplified
                 prophetic substances identified in new Japanese-
                  language patents
NEWS 26
         OCT 07
                 EPFULL enhanced with full implementation of EPC2000
NEWS 27
         OCT 07
                 Multiple databases enhanced for more flexible patent
                 number searching
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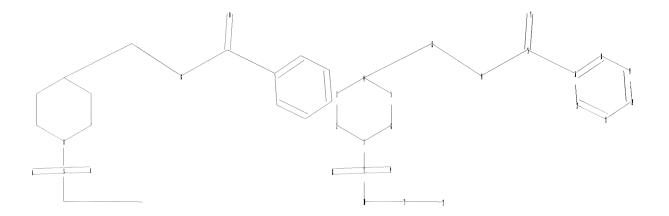
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chain nodes :

7 8 9 10 11 12 13 14 15 17

ring nodes :

1 2 3 4 5 6 16 18 19 20 21 22

chain bonds :

1-7 4-13 7-8 7-9 7-10 10-11 11-12 13-14 14-15 15-16 15-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-18 16-22 18-19 19-20 20-21 21-22

exact/norm bonds :

 $1-2 \quad 1-6 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-9 \quad 7-10 \quad 13-14 \quad 14-15 \quad 15-17$

exact bonds :

4-13 10-11 11-12 15-16

normalized bonds :

16-18 16-22 18-19 19-20 20-21 21-22

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom

L1 STRUCTURE UPLOADED

=> s 11 sss sam

SAMPLE SEARCH INITIATED 16:14:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:14:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 532 TO ITERATE

100.0% PROCESSED 532 ITERATIONS 178 ANSWERS

SEARCH TIME: 00.00.01

L3 178 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

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FILE COVERS 1907 - 15 Oct 2008 VOL 149 ISS 16 FILE LAST UPDATED: 14 Oct 2008 (20081014/ED)

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http://www.cas.org/legal/infopolicy.html

=> s 13

L4 11 L3

=> d ibib abs hitstr 1-11

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:509742 CAPLUS

DOCUMENT NUMBER: 146:500900

TITLE: Preparation of piperidine glycine transporter

inhibitors

INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth

M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg,

Scott E.; Nolt, Brad M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2007053400
                          A2
                                20070510
                                            WO 2006-US41699
                                                                    20061027
     WO 2007053400
                          A3
                                20070920
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
                                20070510
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                                                                    20061027
     AU 2006309050
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     CA 2627177
                                20070510
                                            CA 2006-2627177
                                                                    20061027
                          Α1
     EP 1942893
                                            EP 2006-826685
                          A2
                                20080716
                                                                    20061027
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                                              P 20051028
PRIORITY APPLN. INFO.:
                                            US 2005-731010P
                                                                W 20061027
                                            WO 2006-US41699
```

OTHER SOURCE(S):

MARPAT 146:500900

AΒ The title compds. I [R1 = (CH2) nR1a (wherein n = 0-6; R1a = 0(un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R2 = (un)substituted Ph, heterocyclyl, cycloalkyl, etc.; R3 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5 = H, alkyl; or R4 and R5taken together form a cycloalkyl ring; A = O, NR10 (R10 = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [14C]glycine, generally with an IC50 value of less than about 10 $\mu M.$ Pharmaceutical composition comprising the compound I is disclosed. 936481-32-2P 936481-37-7P 936481-39-9P IT936481-41-3P 936481-42-4P 936481-43-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of piperidine glycine transporter inhibitors) RN 936481-32-2 CAPLUS

Benzamide, 2,4-dichloro-N-[[1'-(propylsulfonyl)[1,4'-bipiperidin]-4'-CN yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 936481-37-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 936481-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-1-piperazinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ N \\ & \\ \text{CH}_2 - \text{NH} - \text{C} \\ & \\ & \\ \text{Cl} \end{array}$$

RN 936481-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

RN 936481-42-4 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & & \\ C-NH-CH_2 & & & \\ O-CF_3 & & & \\ \end{array}$$

RN 936481-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410347 CAPLUS

DOCUMENT NUMBER: 146:421847

TITLE: Preparation of radiolabeled benzoic acid

piperidinylalkylamide GlyT1 glycine transporter

inhibitors for diagnostic imaging

INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig

₩.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 30pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
		O 2007041025 O 2007041025						20070412		WO 2006-US36989				20060925					
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
								DE,											
			GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	
			KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	
			MW,	MX,	MY,	MΖ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	
			RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW								
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
			GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AΖ,	BY,	
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	EP	1942	733			A2	A2 20080716				EP 2006-815187					20060925			
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
			IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		
PRIOF	RIORITY APPLN. INFO.:										US 2005-721782P					P 20050929			
											WO 2	006-US36989				W 20060925			
OTHEF GI	THER SOURCE(S):					MARPAT 146:421847													

AB Title compds. (I; A = N, CH; R2a, R2b = H, F, Cl, Br; R3 = alkyl,
 fluoroalkyl; R4 = H, alkyl; 1 of X, Y = 18F, O11CH3, OCD218F, the other =
 H), were prepared Thus, title compound (II) was prepared by treatment of the
 corresponding phenol derivative with a product prepared from [18F]F- and CD2Br2
 in the presence of Cs2CO3 in DMF at 100°.
IT 934200-18-7P 934200-19-8P 934200-20-1P
 934200-21-2P
 RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation); USES (Uses)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-18-7 CAPLUS

CN Benzamide, 2-fluoro-6-(fluoro-18F-methoxy-d2)-N-[(1S)-1-[4-phenyl-1-1]]

(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-19-8 CAPLUS

CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-20-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[3-(methoxy-11C)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 934200-21-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(fluoro-18F)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 934200-22-3 934200-23-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-22-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934200-23-4 CAPLUS

CN Benzamide, 2,6-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 866559-78-6P 866559-80-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridiny1)-1-(propylsulfony1)-4-

piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & S \\ C-NH-CH_2 & O \\ & O \\ & C1 \end{array}$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & O \\ & S & Pr-n \\ \hline C-NH-CH_2 & OH \\ \end{array}$$

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:344575 CAPLUS

DOCUMENT NUMBER: 146:492593

TITLE: Design, synthesis, and in vivo efficacy of glycine

transporter-1 (GlyT1) inhibitors derived from a series
of [4-phenyl-1-(propylsulfonyl)piperidin-4-yl]methyl

benzamides

AUTHOR(S): Lindsley, Craig W.; Zhao, Zhijian; Leister, William

H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Chen, Tsing-Bau; Chang, Raymond S. L.; Burno, Maryann; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Douglas J.; Tiller, Philip R.; Smith, Sheri; Tsou, Nancy N.; Duggan, Mark E.; Conn,

P. Jeffrey; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled

Synthesis Group, Merck Research Laboratories, West

Point, PA, 19486, USA

SOURCE: ChemMedChem (2006), 1(8), 807-811

CODEN: CHEMGX; ISSN: 1860-7179

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:492593

GΙ

Ι

An iterative analog library synthesis approach was employed to develop SAR AΒ for the title compds. Analog I was thus identified as a novel, centrally active GlyT1 inhibitor. I enhanced prepulse inhibition in a rodent behavioral model sensitive to antipsychotic treatment.

ΙT 852029-09-5P 852029-12-0P 852029-23-3P 852029-28-8P 852029-36-8P 852029-37-9P 852029-44-8P 852029-47-1P 852029-48-2P 852029-50-6P 936101-97-2P 936101-98-3P 936101-99-4P 936102-00-0P 936102-01-1P 936102-02-2P 936102-03-3P 936102-04-4P 936102-05-5P 936102-06-6P 936102-07-7P 936102-08-8P 936102-09-9P 936102-10-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors) RN 852029-09-5 CAPLUS Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-

CN (CA INDEX NAME)

852029-12-0 CAPLUS RN CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ & S - Pr - n \\ & & \\ C - NH - CH_2 - & \\ & & \\ & & \\ Ph \end{array}$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{O} \\ \text{||} \\ \text{Ph-C-NH-CH}_2 & \text{N} & \text{||} \\ \text{Ph} & \text{O} \end{array}$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ NH2 & & & & & & \\ & & S-Pr-n \\ & & & & \\ C-NH-CH_2 & & & & \\ & & & Ph & \\ \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} NH2 & O & \\ & S \\ \hline C \\ C1 & Ph \end{array}$$

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936101-97-2 CAPLUS

CN Benzamide, 2,4-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ & & \\ \hline & O \\ & S - Pr - n \\ \hline & C - NH - CH_2 - Ph \\ \end{array}$$

RN 936101-98-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{O} & \text{O} \\ \text{S} & \text{S-Pr-n} \\ \text{C} & \text{NH-CH}_2 & \text{Ph} \\ \end{array}$$

RN 936101-99-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-00-0 CAPLUS

CN Benzamide, 2-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-01-1 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-02-2 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-03-3 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-04-4 CAPLUS

CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2- (trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-05-5 CAPLUS

CN Benzamide, 2-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-06-6 CAPLUS

CN Benzamide, 2-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-07-7 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-08-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 936102-09-9 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 936102-10-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 266341-42-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S-Pr-n \\ \hline \\ OMe & \\ \end{array}$$

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1190066 CAPLUS

DOCUMENT NUMBER: 146:142582

TITLE: Synthesis and SAR of GlyT1 inhibitors derived from a

series of N-((4-(morpholine-4-carbonyl)-1-

(propylsulfonyl)piperidin-4-yl)methyl)benzamides Zhao, Zhijian; O'Brien, Julie A.; Lemaire, Wei; AUTHOR(S):

Williams, David L.; Jacobson, Marlene A.; Sur,

Cyrille; Pettibone, Doug J.; Tiller, Philip R.; Smith,

Sheri; Hartman, George D.; Wolkenberg, Scott E.;

Lindsley, Craig W.

Department of Medicinal Chemistry, Merck and Co., CORPORATE SOURCE:

Inc., West Point, PA, 19486, USA

Bioorganic & Medicinal Chemistry Letters (2006), SOURCE:

16(23), 5968-5972

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

CASREACT 146:142582 OTHER SOURCE(S):

GΙ

The synthesis and SAR of potent and selective non-sarcosine-derived GlyT1 AΒ inhibitors is described. A library of

N-((4-(morpholine-4-carbonyl)-1-(propylsulfonyl)piperidin-4yl)methyl)benzamides was constructed using amidation as the key step. Some compds., e.g., I, displayed promising GlyT1 inhibitory activity.

ΙT 919284-93-8P 919284-94-9P

> RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, GlyT1 inhibitory activity and SAR of [morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides starting from N-Boc cyanopiperidine using amidation as key steps)

919284-93-8 CAPLUS RN

Benzamide, 2, 4-dichloro-N-[(1R)-1-[4-(4-morpholinylcarbonyl)-1-CN (propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Ι

RN 919284-94-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

869463-15-0P 869463-16-1P 919284-71-2P ΙT 919284-72-3P 919284-73-4P 919284-74-5P 919284-75-6P 919284-76-7P 919284-77-8P 919284-80-3P 919284-81-4P 919284-82-5P 919284-83-6P 919284-84-7P 919284-85-8P 919284-86-9P 919284-87-0P 919284-88-1P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, GlyT1 inhibitory activity and SAR of [morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides starting from N-Boc cyanopiperidine using amidation as key steps) RN869463-15-0 CAPLUS CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

RN 869463-16-1 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-71-2 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N,N-dimethyl-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-72-3 CAPLUS

 (propylsulfonyl) - (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{O} & \text{O} \\ \text{S} & \text{S-Pr-n} \\ \text{C} & \text{NH-CH}_2 & \text{O} \\ \text{C} & \text{NHEt} \\ \text{O} & \text{O} \end{array}$$

RN 919284-73-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[[3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-74-5 CAPLUS

CN 4-Piperidinecarboxamide, N-cyclopropyl-4-[[(2,4-dichlorobenzoyl)amino]methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-75-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[(4-methyl-1-piperazinyl)carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-76-7 CAPLUS

CN 4-Piperidinecarboxamide, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-N-[(3-methyl-3-oxetanyl)methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-77-8 CAPLUS

CN Benzamide, N-[[4-[(3-amino-1-azetidinyl)carbonyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 919284-80-3 CAPLUS

CN Benzamide, N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-81-4 CAPLUS

CN Benzamide, N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 919284-82-5 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-83-6 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

RN 919284-84-7 CAPLUS

CN Benzamide, 4-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 919284-85-8 CAPLUS

CN Benzamide, 3-chloro-2,6-difluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-86-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-87-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-88-1 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 919284-68-7P 919284-69-8P 919284-70-1P 919284-90-5P 919284-91-6P 919284-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, GlyT1 inhibitory activity and SAR of

[morpholinecarbonyl(propylsulfonyl)piperidinylmethyl]benzamides

starting from N-Boc cyanopiperidine using amidation as key steps)

RN 919284-68-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[(phenylmethoxy)methyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-69-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(hydroxymethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 919284-70-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[(2,4-dichlorobenzoyl)amino]methyl]-1-(propylsulfonyl)- (CA INDEX NAME)

RN 919284-90-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-[(phenylmethoxy)methyl]-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 919284-91-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(hydroxymethyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 919284-92-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-[1-[(2,4-dichlorobenzoyl)amino]ethyl]-1-(propylsulfonyl)- (CA INDEX NAME)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1093266 CAPLUS

DOCUMENT NUMBER: 145:432223

TITLE: Method of treating schizophrenia prodrome

 ${\tt INVENTOR}({\tt S}): \\ {\tt Woods}, \; {\tt Scott} \; {\tt W}.$

PATENT ASSIGNEE(S): Yale University, USA SOURCE: PCT Int. Appl., 64pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE			APPLICATION NO.						DATE		
_	2006110724 2006110724								WO 2	20060411								
	₩:	CN, GE, KZ, MZ, SG,	CO, GH, LC, NA, SK,	CR, GM, LK, NG, SL,	CU, HR, LR, NI,	CZ, HU, LS, NO, SY,	AU, DE, ID, LT, NZ, TJ,	DK, IL, LU, OM,	DM, IN, LV, PG,	DZ, IS, LY, PH,	EC, JP, MA, PL,	EE, KE, MD, PT,	EG, KG, MG, RO,	ES, KM, MK, RU,	FI, KN, MN, SC,	GB, KP, MW, SD,	GD, KR, MX, SE,	
	RW:	AT, IS, CF, GM,	BE, IT, CG, KE,	BG, LT, CI, LS,	CH, LU, CM,	CY, LV, GA, MZ,	CZ, MC, GN, NA, TM	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,	
AU	·					,		1019	AU 2006-235400						20060411			
_	CA 2602626							-	CA 2006-2602626 EP 2006-740849						20060411			
	R:	IS,	IT,	LI,	LT,		CZ, LV,											
	BA, HR, MK, JP 2008535864 IORITY APPLN. INFO.:						2008	0904		US 2	008- 005- 006-	6706	00P	•	P 2	0060 0050 0060	411	

OTHER SOURCE(S): MARPAT 145:432223

AB The present invention relates to a method of treating schizophrenia prodrome in human subjects using a NMDA glycine site agonist, a glycine transporter-1 inhibitor or mixts. thereof, optionally in combination with a pharmaceutically acceptable additive, carrier or excipient.

IT 852029-09-5

L4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of treating schizophrenia prodrome with NMDA glycine agonist and glycine transporter-1 inhibitor)

RN 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

ACCESSION NUMBER: 2006:342953 CAPLUS

DOCUMENT NUMBER: 144:369920

TITLE: Cyclopropyl piperidine glycine transporter inhibitors

for treatment of neurological and psychiatric

disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Wolkenberg,

Scott E.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P A							KIND DATE			APPLICATION NO.						DATE			
				A2 20060				3 WO 2005-US34301						20050926					
	₩:	AE, CN, GE, LC, NA, SK,	AG, CO, GH, LK, NG,	AL, CR, GM, LR, NI, SM,	AM, CU, HR, LS, NO, SY,	AT, CZ, HU, LT, NZ,	AU, DE, ID, LU, OM,	AZ, DK, IL, LV, PG,	DM, IN, LY, PH,	DZ, IS, MA, PL,	BG, EC, JP, MD, PT,	EE, KE, MG, RO,	EG, KG, MK, RU,	ES, KM, MN, SC,	FI, KP, MW, SD,	GB, KR, MX, SE,	GD, KZ, MZ, SG,		
	R₩:	AT, IS, CF, GM,	BE, IT, CG,	BG, LT, CI, LS,	CH, LU, CM, MW,	LV, GA, MZ,	MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	, ES, , RO, , MR, , TZ,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,		
AU	2005							0413		AU 2	2005-	2923	23		2	0050	926		
							CA 2005-2581582												
EP					A2 20070620			EP 2005-801197						20050926					
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
											, PT,								
CN	1010	3154	7		Α		2007	0905	CN 2005-80033117						2	0050	926		
JP	2008	5147	05		T		2008	0508	CN 2005-80033117 JP 2007-534679						2	0050	926		
									BR 2005-15954										
	2007										2007-								
											2007-								
	2007										2007-								
										KR 2007-707362									
					A		2007	0427		NO 2007-2208 US 2004-614942P									
PRIORIT	IORITY APPLN. INFO.:									US 2 WO 2	2004- 2005-	6149 US34	42P 301	,	P 2 W 2	0040			

MARPAT 144:369920

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$$\begin{array}{c|c}
R^3 & R^4 & | \\
N &$$

OTHER SOURCE(S):

GΙ

- The present invention is directed to cyclopropyl piperidine compds. (I; R1 = substituted Ph, substituted heterocycle, (un)substituted C1-8 alkyl, (un)substituted C3-6 cycloalkyl; R2 = (un)substituted C1-6 alkyl, (un)substituted C3-6 cycloalkyl; R3,R4 = H, (un)substituted C1-6 alkyl; A = 0, NR5; R5 = H, (un)substituted C1-6 alkyl, (un)substituted C3-6 cycloalkyl, benzyl, phenyl; m = 0, 1) that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.
- IT 882034-97-1P 882034-98-2P 882035-07-6P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopropyl piperidine compds. as glycine transporter inhibitors for treatment of neurol. and psychiatric disorders)

RN 882034-97-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 882034-98-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-fluoro- (CA INDEX NAME)

RN 882035-07-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(cyclopropylmethyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1220538 CAPLUS

DOCUMENT NUMBER: 143:472603

DOCUMENT NUMBER: 143:472003

TITLE: Morpholinyl piperidine derivative glycine transporter

GlyT1 inhibitors, their preparation/., and their use

for treatment of neurological and psychiatric $% \left(1\right) =\left(1\right) +\left(1$

disorders

INVENTOR(S): Lindsley, Craig W.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

WO 2005107469 A3 20060629 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, C. CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, G GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, K LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, M NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, S	KIND DATE APPLICATION NO. DATE	DATE	KIND		PAT		
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, G GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, K LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, M NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, S							
ZM, ZW	CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,	CZ, DE, HU, ID, LT, LU, PG, PH,	CU, HR, LS, OM,	, CR, , GM, , LR, , NZ, , TJ,	EN, CO, EE, GH, C, LK, II, NO, M, SY,	C G L N S	
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, Z AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, D EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, P RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, G MR, NE, SN, TD, TG US 20070249606 A1 20071025 US 2006-579234 200	KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, TD, TG A1 20071025 US 2006-579234 20061030	MD, RU, GB, GR, TR, BF, TG	KZ, FR, SK, TD,	, GM, , KG, , FI, , SI,	W, GH, Z, BY, E, ES, O, SE, IR, NE,	RW: B A E R M 200702	

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RN

CN

AB The invention discloses morpholinyl piperidine compds. that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. Preparation of I is described.

IT 869463-15-0P 869463-16-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(morpholinyl piperidine derivative glycine transporter GlyT1 inhibitor preparation and use for treatment of neurol. and psychiatric disorders) 869463-15-0 CAPLUS

Benzamide, 2,4-dichloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 869463-16-1 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-morpholinylcarbonyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103490 CAPLUS

DOCUMENT NUMBER: 143:386922

TITLE: Preparation of heteroaryl-substituted piperidine

glycine transporter inhibitors for the treatment of

psychiatric disorders

INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David;

Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao,

Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
								WO 2005-US9810						20050323				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	СО,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	${ m TZ}$,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
ΑU	2005	2281	33		A1		2005	1013	AU 2005-228133						20	0050	323	
	2560						2005									0050		
EP	1729	772			A2		2006	1213		EP 2	005-	7261	05		2	0050	323	
	R:						CZ,										ΙE,	
							MC,									LV		
_	1933						2007			_					20050323			
JP 2007530576			T	T 20071101				JP 2007-505167					20050323					

IN 2006CN03155 20070608 IN 2006-CN3155 20060831 Ά US 20070254880 US 2007-593950 20070510 A 1 20071101 PRIORITY APPLN. INFO .: US 2004-555925P Р 20040324 WO 2005-US9810 20050323 W

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OTHER SOURCE(S):

CASREACT 143:386922; MARPAT 143:386922

GΙ

Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un)substituted Ph, AΒ heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A = O, (un)substituted N; m = 0-1] are prepared For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO2Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. ΙT

866559-77-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-77-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & & \\ C1 & & \\ &$$

ΙT 866558-67-0P 866558-68-1P 866558-69-2P 866558-71-6P 866558-72-7P 866558-73-8P 866558-74-9P 866558-75-0P 866558-76-1P 866558-77-2P 866558-78-3P 866558-79-4P 866558-80-7P 866558-81-8P 866558-82-9P 866558-83-0P 866558-84-1P 866558-85-2P 866558-86-3P 866558-87-4P 866558-93-2P 866558-94-3P 866558-95-4P 866558-96-5P 866558-99-8P 866559-00-4P 866559-01-5P 866559-02-6P 866559-10-6P 866559-11-7P 866559-12-8P 866559-13-9P 866559-14-0P 866559-15-1P 866559-16-2P 866559-17-3P 866559-29-7P 866559-30-0P 866559-31-1P 866559-32-2P 866559-45-7P 866559-46-8P 866559-48-0P 866559-49-1P 866559-50-4P 866559-54-8P 866559-55-9P 866559-56-0P 866559-57-1P 866559-59-3P 866559-62-8P 866559-64-0P 866559-71-9P 866559-75-3P 866559-76-4P 866559-78-6P 866559-79-7P 866559-80-0P 866559-81-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heteroaryl-substituted piperidine glycine transporter

inhibitors for treatment of psychiatric disorders)
866558-67-0 CAPLUS
Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-

Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-68-1 CAPLUS

RN

СИ

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline \\ S - Pr - n \\ \hline \\ C - NH - CH_2 \\ \hline \\ C1 & N \\ \end{array}$$

● HC1

RN 866558-69-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 866558-71-6 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866558-72-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 866558-73-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & C - NH - CH_2 & \\ & & O \\ & & & O \\ \end{array}$$

RN 866558-74-9 CAPLUS

CN Benzamide, 2-bromo-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ \hline \\ C - NH - CH_2 & & \\ \\ Br & & \\ \end{array}$$

RN 866558-75-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-76-1 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-77-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-78-3 CAPLUS

CN Benzamide, 2-fluoro-6-methoxy-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-79-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & \\ S - Pr - n \\ \hline C - NH - CH_2 & & \\ \hline \\ C1 & & \\ Me & & \\ \end{array}$$

RN 866558-80-7 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-(trifluoromethyl)- (CA INDEX NAME)

RN 866558-81-8 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-82-9 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866558-83-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-84-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & \\ \hline \\ S - Pr - n \\ \hline \\ C - NH - CH_2 \\ \hline \\ C1 & \\ \hline \\ Me \end{array}$$

RN 866558-85-2 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-86-3 CAPLUS

CN Benzamide, 4-chloro-2-fluoro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 866558-87-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-93-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-94-3 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-95-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & S-Pr-n \\ \hline C-NH-CH_2 & O \\ \hline \end{array}$$

RN 866558-96-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866558-99-8 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-00-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN

866559-01-5 CAPLUS Benzamide, 2,4,5-trifluoro-N-[[4-(6-methoxy-2-pyridiny1)-1-CN (propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \hline F & & & & \\ & & & & \\ \hline \end{array}$$

866559-02-6 CAPLUS RN

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 866559-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-11-7 CAPLUS

CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 866559-12-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-13-9 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-14-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-15-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-16-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-17-3 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866559-29-7 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-30-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 866559-31-1 CAPLUS

Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-CN 4-piperidinyl]methyl]- (CA INDEX NAME)

RN

866559-32-2 CAPLUS
Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-CN 4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-45-7 CAPLUS

Benzamide, 2,4-dichloro-N-[[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-CN piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & S-Pr-n \\ \hline \\ C-NH-CH_2 & Me \end{array}$$

RN 866559-46-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & & \\ & S - Pr - n \\ & & \\ C1 & & \\$$

RN 866559-48-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-49-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Pr-n \\ C-NH-CH_2 & & C1 \\ \end{array}$$

RN 866559-50-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridiny1)-1-(propylsulfony1)-4-piperidiny1]methy1]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\$$

RN 866559-54-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyrazinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 866559-55-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-56-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropy1)sulfony1]-4-(2-pyridiny1)-4-piperidiny1]methy1]- (CA INDEX NAME)

C1
$$C = NH - CH_2$$
 $N = CH_2$ N

RN 866559-57-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropy1)sulfony1]-4-(3-fluoro-2-pyridiny1)-4-piperidiny1]methy1]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 866559-59-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ S-Pr-n \\ C-NH-CH_2 & & \\ \end{array}$$

RN 866559-62-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-64-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866559-71-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 866559-75-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 866559-76-4 CAPLUS

CN Benzamide, N-[[4-(3-bromo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S & Pr-n \\ \hline C-NH-CH_2 & & \\ & & Br \end{array}$$

RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S-Pr-n \\ \hline & C-NH-CH_2 & O \\ \hline & & \\ & & C1 \\ \end{array}$$

RN 866559-79-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S - Pr - n \\ & & \\ C1 & & \\ &$$

RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & & \\ & S & Pr-n \\ \hline & C-NH-CH_2 & OH \\ \end{array}$$

RN 866559-81-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:451128 CAPLUS

DOCUMENT NUMBER: 142:476263

TITLE: 4-Phenylpiperidine derivative glycine transporter

inhibitors for the treatment of neurological and

psychiatric disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA]	ENT 1	NO.			KIND DATE					APP1	LICAT		DATE				
	WO 2005046601 WO 2005046601			A2				WO 2004-US37359						20041110				
		W:	CN, GE, LK, NO,	CO, GH, LR, NZ,	CR, GM, LS, OM,	CU, HR, LT, PG,	CZ, HU, LU, PH,	DE, ID, LV, PL,	DK, IL, MA, PT,	DM, IN, MD, RO,	DZ IS MG RU	BG, EC, JP, MK, SC,	EE, KE, MN, SD,	EG, KG, MW, SE,	ES, KP, MX, SG,	FI, KR, MZ, SK,	GB, KZ, NA, SL,	GD, LC, NI, SY,
		RW:	BW, AZ, EE, SE,	GH, BY, ES,	GM, KG, FI, SK,	KE, KZ, FR, TR,	LS, MD, GB,	MW, RU, GR,	MZ, TJ, HU,	NA, TM, IE,	SD AT	, UZ, , SL, , BE, , IT, , CM,	SZ, BG, LU,	TZ, CH, MC,	UG, CY, NL,	ZM, CZ, PL,	ZW, DE, PT,	AM, DK, RO,
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OTHER SOURCE(S): MARPAT 142:476263

AB The invention discloses 4-phenylpiperidine derivs. that inhibit the glycine transporter GlyTl and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine

transporter GlyT1 is involved. Compound preparation is described. ΤТ 852029-09-5P 852029-11-9P 852029-12-0P 852029-13-1P 852029-16-4P 852029-17-5P 852029-18-6P 852029-21-1P 852029-22-2P 852029-23-3P 852029-24-4P 852029-25-5P 852029-26-6P 852029-27-7P 852029-28-8P 852029-31-3P 852029-32-4P 852029-33-5P 852029-34-6P 852029-35-7P 852029-36-8P 852029-37-9P 852029-38-0P 852029-39-1P 852029-40-4P 852029-41-5P 852029-42-6P 852029-43-7P 852029-44-8P 852029-46-0P 852029-47-1P 852029-48-2P 852029-49-3P 852029-50-6P 852029-51-7P 852029-52-8P 852029-53-9P 852029-54-0P 852029-55-1P 852029-56-2P 852029-57-3P 852029-58-4P 852029-59-5P 852029-60-8P 852029-61-9P 852029-62-0P 852029-63-1P 852029-64-2P 852029-65-3P 852029-66-4P 852029-67-5P 852029-68-6P 852029-69-7P 852029-70-0P 852029-71-1P 852029-72-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phenylpiperidine derivative glycine transporter inhibitors for treatment of neurol, and psychiatric disorders) RN 852029-09-5 CAPLUS CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline \\ O & & \\ \hline \\ C-NH-CH_2 & & \\ \hline \\ Ph & & \\ \end{array}$$

RN 852029-11-9 CAPLUS
CN Benzamide, 4-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 - & O \\ \end{array}$$

RN 852029-12-0 CAPLUS
CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl](CA INDEX NAME)

RN 852029-13-1 CAPLUS

CN Benzamide, 2-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S-\text{Pr-n} \\ \parallel & \\ C-\text{NH-CH}_2 & \\ \text{Ph} \end{array}$$

RN 852029-16-4 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & & \\ & & \\ \hline & C - NH - CH_2 & \\ & & \\ F & & \\ \end{array}$$

RN 852029-17-5 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - r \\ \hline C - NH - CH_2 & & O \\ \hline Ph & & O \end{array}$$

RN 852029-18-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 852029-21-1 CAPLUS

CN Benzamide, 2,3-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S-Pr-n \\ \hline C-NH-CH_2 & & O \\ \hline Ph & & O \end{array}$$

RN 852029-22-2 CAPLUS

CN Benzamide, 3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Pr - n \\ \hline C - NH - CH_2 & & O \\ \hline Ph & & O \\ \end{array}$$

RN 852029-23-3 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-24-4 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-25-5 CAPLUS

CN Benzamide, 2-(difluoromethoxy)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & & \\ S - Pr - n \\ \hline C - NH - CH_2 & & \\ O - CHF_2 & & Ph \end{array}$$

RN 852029-26-6 CAPLUS

CN Benzamide, 2,5-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-27-7 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & \\ & & \\ & & \\ C-NH-CH_2 & \\ & & \\ C1 & \end{array}$$

RN 852029-28-8 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-31-3 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-32-4 CAPLUS

CN Benzamide, 2-chloro-6-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & & & \\ & & S-Pr-n \\ \hline & C-NH-CH_2 & & O \\ \hline & & Ph & \\ \end{array}$$

RN 852029-33-5 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ O & & & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & & \\ \end{array}$$

RN 852029-34-6 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

RN 852029-35-7 CAPLUS

CN Benzamide, 2-bromo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ & & \\ \hline \\ O & & \\ \hline \\ S - \text{Pr-n} \\ \hline \\ O & \\ \hline \\ Br & \\ \end{array}$$

RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & NH2 & & & & \\ & & S-Pr-n \\ \hline & & & C-NH-CH2 \\ & & & & Ph \end{array}$$

RN 852029-37-9 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-38-0 CAPLUS

CN Benzamide, 2-amino-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} NH2 & O & & & \\ NH2 & O & & & \\ C-NH-CH2 & & & \\ Ph & & & \\ \end{array}$$

RN 852029-39-1 CAPLUS

CN Benzamide, 2-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ &$$

RN 852029-40-4 CAPLUS

CN Benzamide, 2-fluoro-6-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} F & O & & \\ & S & Pr-n \\ \hline & C-NH-CH_2 & & \\ I & & Ph & \\ \end{array}$$

RN 852029-41-5 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-42-6 CAPLUS

CN Benzamide, 2-[(difluoromethyl)thio]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-43-7 CAPLUS

CN Benzamide, 2,3-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-46-0 CAPLUS

CN Benzamide, 2,5-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-48-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-49-3 CAPLUS

CN Benzamide, 2-fluoro-6-hydroxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} OH & O & \\ S-Pr-n \\ \hline \\ C-NH-CH_2 & O \\ \end{array}$$

RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-51-7 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-52-8 CAPLUS

CN Benzamide, 2-bromo-3-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-53-9 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-54-0 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-55-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-56-2 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(methylthio)- (CA INDEX NAME)

RN 852029-57-3 CAPLUS

CN Benzamide, N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 852029-58-4 CAPLUS

CN Benzamide, 2-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-59-5 CAPLUS

CN Benzamide, 4-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-60-8 CAPLUS

CN Benzamide, 2,6-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-61-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-62-0 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)

RN 852029-63-1 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-64-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-65-3 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-66-4 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ NH2 & & & & \\ & & & & \\ C-NH-CH_2 & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 852029-67-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 852029-68-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

RN 852029-69-7 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NEt}_2 & \text{O} \\ & \text{CH}_2 & \text{S-Pr-n} \\ & \text{C-NH-CH}_2 & \text{O} \\ & \text{O} & \text{Ph} \end{array}$$

RN 852029-70-0 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-[[(2,2,2-trifluoroethyl)amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-71-1 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 852029-72-2 CAPLUS

CN Benzamide, 2-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:855758 CAPLUS

DOCUMENT NUMBER: 139:364829

TITLE: Preparation of heterocyclo inhibitors of potassium

channel function

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin;

Beaudoin, Serge; Gross, Michael F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIN	D	DATE			APPLICATION NO.						DATE				
						_														
	WO 2003088908				A2		2003	1030		WO 2003-US11807						20030416				
	WO	WO 2003088908				A3 20040527														
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚΡ,	KR,	ΚZ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NI,	NO,	NZ,	OM,		
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,		
			ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		

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                                             AU 2003-223651
                          Α1
                                                                     20030416
                          A2
                                 20050202
                                             EP 2003-719792
     EP 1501467
                                                                     20030416
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                 20041013
                                             NO 2004-4351
                                                                     20041013
PRIORITY APPLN. INFO.:
                                             US 2002-374279P
                                                                     20020419
                                             WO 2003-US11807
                                                                    20030416
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OTHER SOURCE(S):
                         MARPAT 139:364829
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GΙ

$$\begin{bmatrix} R^2 & J - R^3 \\ p & m \\ Q & R? \end{bmatrix}$$

AΒ The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un) substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

ΙT 619277-83-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of substituted piperidines as inhibitors of potassium channel function)

619277-83-7 CAPLUS RN

Benzamide, 2-methoxy-N-[[1-(propylsulfonyl)-4-(2-thienyl)-4-CN piperidinyl]methyl]- (CA INDEX NAME)

ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314546 CAPLUS

DOCUMENT NUMBER: 132:321801

TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and

analogs as potassium channel inhibitors

INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew;

Parsons, William H.; Rupprecht, Kathleen M.;

Claiborne, Christopher F.; Liverton, Nigel; Claremon,

David A.; Thompson, Wayne J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE				APPL	ICAT	ION :	DATE					
WO	√O 2000025786				A1 20000										19991026			
	W:	ΑE,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	
		MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	
		SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	
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CA	2348	735			A1		2000	0511		CA 1	999-	2348	735		1	9991	026	
	2348																	
EP	1126	849			A1		2001	0829		EP 1	999-	9551	69		1	9991	026	
EΡ	1126	849			В1		2005	0309										
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AB Title compds. [I; R1 = CH2NR10COR6; R2,R6 = (un)substituted Ph; R3,R4 = H, halo, alkyl, acyl, etc.; R10 = H, alkyl, acyl, etc.; Z = O, S00-2, NR5; R5 = H, OH, alkyl, acyl, etc.; Z1,Z2 = bond, CH2, CH2CH2] were prepared as potassium channel inhibitors (no data). Thus, 4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated by 2-(MeO)C6H4COCl to give, after deprotection and Ac2O acylation, 2-(MeO)C6H4CONHCH2Z3Ac (Z3 = 4-phenylpiperidine-4,1-diyl).

IT 266341-42-8P 266341-43-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors)

RN 266341-42-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

RN 266341-43-9 CAPLUS

CN Benzamide, N-[[1-(butylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-(CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & S - Bu - n \\ \hline C - NH - CH_2 - & O \\ \hline OMe & Ph \end{array}$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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